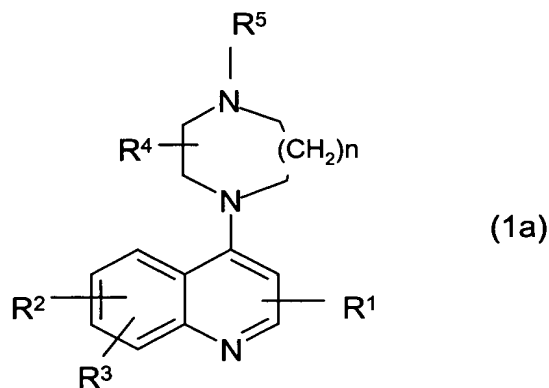
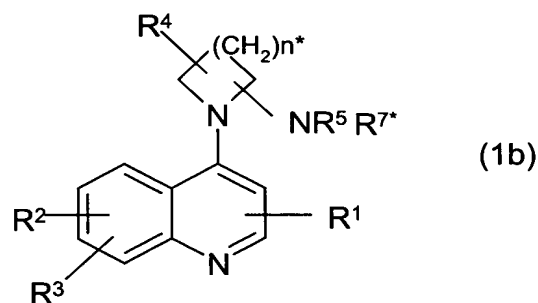


We claim:

1. A method of treating an inflammatory or immunoregulatory disorder comprising the administration to a patient in need thereof an effective amount of at least one compound of formulae (1a) or (1b)



or



enantiomers, diastereomers, salts and solvates thereof

wherein

$R^1$  and  $R^{1*}$  are independently hydrogen, a substituted or unsubstituted amino, alkyl, haloalkyl, hydroxy, alkoxy or  $-C(O)OR^{9a}$ ;

$R^2$ ,  $R^{2*}$ ,  $R^3$  and  $R^{3*}$  are independently hydrogen, alkyl, halogenated alkyl, halogen, substituted or unsubstituted amino, nitro, cyano, or alkoxy;

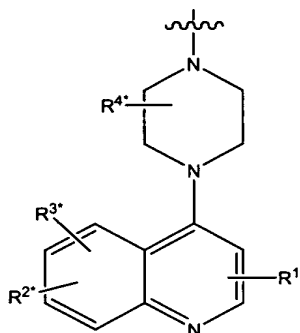
$R^4$  and  $R^{4*}$  are independently hydrogen or one or more alkyl groups;

$R^5$  is

(1) hydrogen, or

(2)  $R^9$ ,  $R^9$ -aminocycloalkyl,  $R^9$ -aminocycloalkenyl, (alkoxy)carbonyl, (aryloxy)carbonyl,  $-SO_2-R^9$ ,  $-C(=O)-NR^7R^9$ ,  $-C(=O)-NR^7-SO_2R^9$ ,  $-C(=O)-R^6$ ,  $-C(=O)-R^9$ ,  $-C(=NR^{10})-R^9$ ,  $-C(=S)-R^9$ ,  $-C(=NR^{10})-NHR^9$ ,  $-C(=S)-NHR^9$ , or  $-C(=S)-NR^7-SO_2R^9$  any of which can be substituted or unsubstituted;

$R^6$  is a group of formula



$R^7$  and  $R^{7*}$  are independently hydrogen, substituted or unsubstituted  $C_{1-6}$  alkyl, or substituted or unsubstituted aryl;

- 5  $R^9$  is arylalkyl, cycloalkyl, cycloalkenyl, cycloalkylalkyl, alkyl, heterocyclalkyl, aryl or heterocycl any of which can be substituted or unsubstituted;

$R^{9a}$  is

- (1) hydrogen, or  
 (2) arylalkyl, cycloalkyl, cycloalkenyl, cycloalkylalkyl, alkyl, heterocyclalkyl, aryl  
 10 or heterocycl any of which can be substituted or unsubstituted;

$R^{10}$  is

- (1) hydrogen, or cyano;  
 (2) alkyl, or alkoxy, either of which optionally can be substituted;

- 15  $n$  is 0, 1, 2 or 3; and

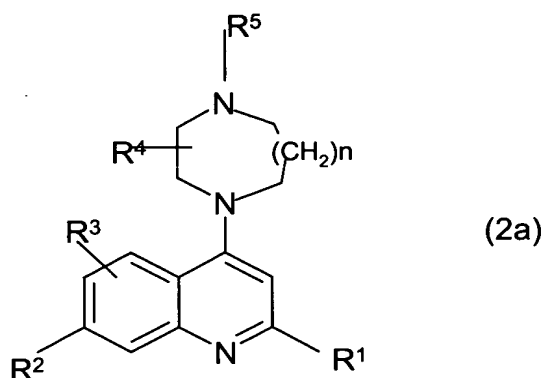
$n^*$  is 1, 2 or 3.

2. A method of claim 1 wherein the inflammatory or immunoregulatory disorder is selected from multiple sclerosis, arthritis, or psoriasis.

20

3. A method of claim 2 wherein the compound is a compound of formula (1a).

4. A method of claim 3 wherein the compound is a compound of formula (2a)



enantiomers, diastereomers, salts and solvates thereof

wherein

$R^1$  is hydrogen, amino or substituted amino;

5  $R^2$  is halo;

$R^3$  is hydrogen, alkyl, halogenated alkyl, halogen, substituted or unsubstituted amino, nitro, cyano, or alkoxy;

$R^4$  is hydrogen or one or more alkyl groups;

$R^5$  is alkoxycarbonyl,  $-C(=O)NHR^9$ ,  $-C(=O)-NR^7-SO_2R^9$ ,  $-C(=S)NHR^9$  or

10  $-C(=S)-NR^7-SO_2R^9$ ; and

$R^9$  is cycloalkyl, cycloalkenyl, heterocyclyl, heterocyclylalkyl, aryl, or arylalkyl any of which may be optionally substituted.

5. A method of claim 4 wherein

15  $R^1$  is hydrogen or amino;

$R^5$  is  $-C(=O)NHR^9$ , or  $-C(=S)NHR^9$ ;

$R^9$  is heterocyclo optionally substituted with one to three hydroxy, oxo or thioxo groups and further optionally substituted with one or more

20 (i)  $-(CR^{20}R^{21})_m-C(=O)R^{15}$ ,  $-(CR^{20}R^{21})_m-C(=O)OR^{15}$ ,  
 $-(CR^{20}R^{21})_m-SO_2R^{15a}$ ,  $-(CR^{20}R^{21})_m-C(=O)NR^{16}R^{17}$ ,  
 $-(CR^{20}R^{21})_m-C(=S)NR^{16}R^{17}$ ,  
 $-(CR^{20}R^{21})_m-C(=O)NR^{16}-SO_2R^{15b}$ ;

(ii) aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, cycloalkyl or  
 25 cycloalkylalkyl any of which may be optionally  
 independently substituted with one or more halo, alkoxy,  
 hydroxy, or haloalkyl;

(iii) cyano;

$R^{15}$  is hydrogen, alkyl, haloalkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, aryloxyalkyl;

$R^{15a}$  is hydrogen, alkyl, haloalkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, aryloxyalkyl provided that when  $m$  is zero  $R^{15a}$  is not hydrogen;

$R^{15b}$  is alkyl, haloalkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, aryloxyalkyl;

$R^{16}$  and  $R^{17}$  are independently hydrogen, alkyl, alkenyl, cycloalkyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, alkenyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl;

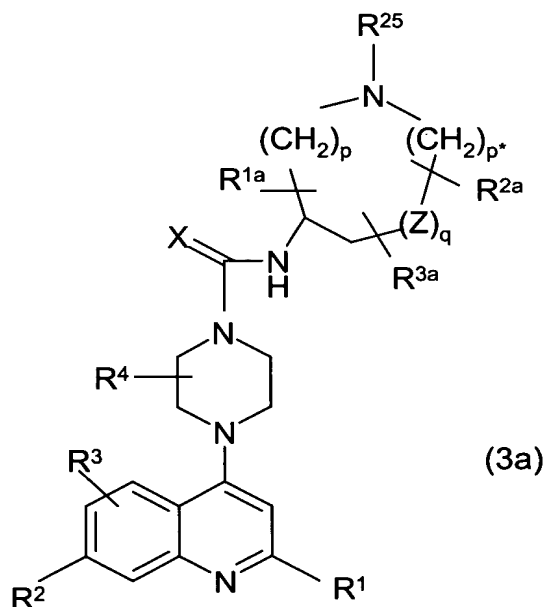
or  $R^{16}$  and  $R^{17}$  together with the nitrogen atom to which they are bonded may combine to form a heterocyclyl ring;

$R^{20}$  and  $R^{21}$  at each occurrence are the same or different and are independently selected from hydrogen or alkyl;

$m$  is 0, 1, 2 or 3; and

$n$  is zero.

6. A method of claim 5 wherein the compound is a compound of formula (3a)



wherein

$R^1$  is hydrogen or amino;

$R^2$  is halo;

$R^3$  is hydrogen, alkyl, halogenated alkyl, halogen, substituted or unsubstituted amino, nitro, cyano, or alkoxy;

$R^4$  is hydrogen or one or more alkyl groups;

$R^{1a}$ ,  $R^{2a}$  and  $R^{3a}$  are independently selected from hydrogen, oxo, thio

5 or when bonded to adjacent ring carbon atoms  $R^{2a}$  and  $R^{3a}$  may combine to form a fused aryl or heterocyclo ring;

$R^{25}$  is

(i) hydrogen, or cyano

(ii) alkyl, cycloalkyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, alkenyl,  
10 cycloalkylalkyl, heterocyclalkyl, aryl, or arylalkyl any of which may be optionally independently substituted with one to three halo, alkoxy, hydroxy, alkyl, or haloalkyl; or

(iii)  $-(CR^{20}R^{21})_m-C(=O)R^{15}$ ,  $-(CR^{20}R^{21})_m-C(=O)OR^{15}$ ,  $-(CR^{20}R^{21})_m-SO_2R^{15a}$ ,  
15  $-(CR^{20}R^{21})_m-C(=O)NR^{16}R^{17}$ ,  $-(CR^{20}R^{21})_m-C(=S)NR^{16}R^{17}$ ; or  
 $-(CR^{20}R^{21})_m-C(=O)NR^{16}-SO_2R^{15b}$ ;

$R^{15}$  is hydrogen, alkyl, haloalkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, aryloxyalkyl;

$R^{15a}$  is hydrogen, alkyl, haloalkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, aryloxyalkyl provided that when m is zero  $R^{15a}$  is not hydrogen;

20  $R^{15b}$  is alkyl, haloalkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, aryloxyalkyl;

$R^{16}$  and  $R^{17}$  are independently hydrogen, alkyl, cycloalkyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, alkenyl, cycloalkylalkyl, heterocyclalkyl, aryl, or arylalkyl;

or  $R^{16}$  and  $R^{17}$  together with the nitrogen atom to which they are bonded may combine

25 to form a heterocycl ring;

$R^{20}$  and  $R^{21}$  at each occurrence are the same or different and are independently selected from hydrogen or alkyl;

Z is -S-, -S(O)- or  $-S(O)_2-$ ;

p is 1 or 2;

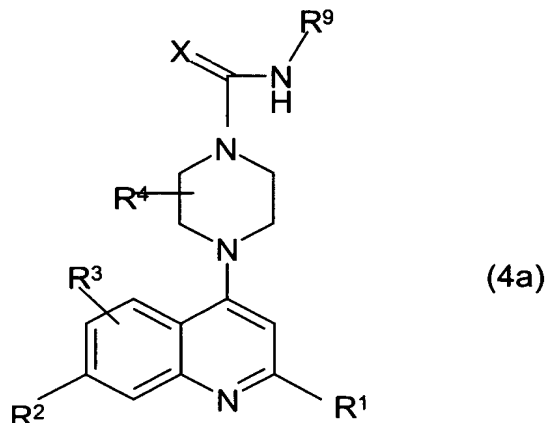
30  $p^*$  is 0, 1, 2, 3 or 4;

q is 0 or 1; and

m is 0, 1 or 2.

7. A method of claim 3 wherein the compound is a compound of formula

(4a)



wherein

5  $R^1$  is hydrogen or amino;

$R^2$  is halo;

$R^3$  is hydrogen, alkyl, halogenated alkyl, halogen, substituted or unsubstituted amino, nitro, cyano, or alkoxy;

$R^4$  is hydrogen or one or more alkyl groups;

10  $R^9$  is

(a) alkyl, haloalkyl, alkoxy carbonyl alkyl,  $-\text{CH}_2-\text{C}(=\text{O})\text{OR}^{15}$ ,  $-\text{CH}_2-\text{C}(=\text{O})\text{R}^{15}$ , or  $-\text{CH}_2-\text{C}(=\text{O})\text{NR}^{16}\text{R}^{17}$ ;

(b) cycloalkyl optionally substituted with one to three groups independently selected from alkyl, haloalkyl, alkoxy, aryloxy, arylalkyloxy, heteroaryloxy, halo, hydroxy, oxo, thioxo,  $=\text{N}-\text{OR}^{15}$ ,  $-(\text{CR}^{20}\text{R}^{21})_m-\text{C}(=\text{O})\text{OR}^{15}$ ,  $-(\text{CR}^{20}\text{R}^{21})_m-\text{C}(=\text{O})\text{R}^{15}$ ,  $-(\text{CR}^{20}\text{R}^{21})_m-\text{C}(=\text{O})\text{NR}^{16}\text{R}^{17}$ ;

(c) aryl optionally substituted with one to three groups independently selected from alkyl, alkoxy, heteroaryloxy, halo, hydroxy, oxo, thioxo,  $=\text{N}-\text{OR}^{15}$ ,  $-(\text{CR}^{20}\text{R}^{21})_m-\text{C}(=\text{O})\text{OR}^{15}$ ,  $-(\text{CR}^{20}\text{R}^{21})_m-\text{C}(=\text{O})\text{R}^{15}$ ,  $-(\text{CR}^{20}\text{R}^{21})_m-\text{C}(=\text{O})\text{NR}^{16}\text{R}^{17}$ ;

20 or

(d) heteroaryl optionally substituted with one to three groups independently selected from alkyl, alkoxy, aryloxy, heteroaryloxy, halo, hydroxy, oxo, thioxo,  $=\text{N}-\text{OR}^{15}$ ,  $-(\text{CR}^{20}\text{R}^{21})_m-\text{C}(=\text{O})\text{OR}^{15}$ ,  $-(\text{CR}^{20}\text{R}^{21})_m-\text{C}(=\text{O})\text{R}^{15}$ ,  $-(\text{CR}^{20}\text{R}^{21})_m-\text{C}(=\text{O})\text{NR}^{16}\text{R}^{17}$ ;

25  $R^{15}$  is hydrogen, alkyl, haloalkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl,

aryloxyalkyl,

$R^{16}$  and  $R^{17}$  are independently hydrogen, alkyl, cycloalkyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, alkenyl, cycloalkylalkyl, heterocyclalkyl, aryl, or arylalkyl;

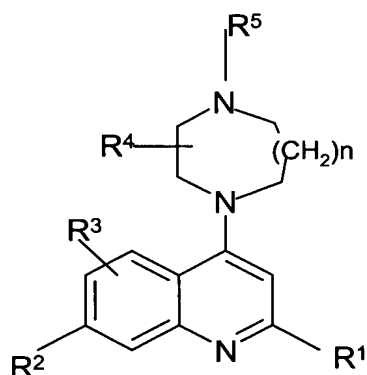
- 5 or  $R^{16}$  and  $R^{17}$  together with the nitrogen atom to which they are bonded may combine to form a heterocycl ring;

$R^{20}$  and  $R^{21}$  at each occurrence are the same or different and are independently selected from hydrogen or alkyl; and

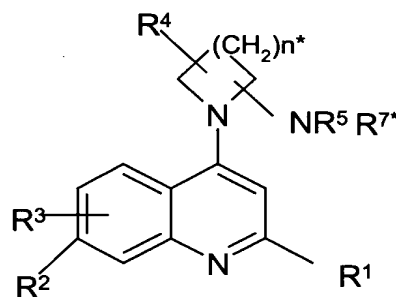
m is 0, 1 or 2.

10

8. A compound of formula (2a) or (2b)



(2a)



(2b)

enantiomers, diastereomers, salts and solvates thereof

wherein

- 15  $R^1$  is hydrogen, amino or substituted amino;

$R^2$  is halo;

$R^3$  is hydrogen, alkyl, halogenated alkyl, halogen, substituted or unsubstituted amino, nitro, cyano, or alkoxy;

$R^4$  is hydrogen or one or more alkyl groups;

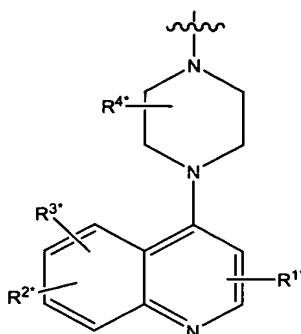
- 20  $R^5$  is

(1) hydrogen, or

(2)  $R^9$ ,  $R^9$ -aminocycloalkyl,  $R^9$ -aminocycloalkenyl, (alkoxy)carbonyl, (aryloxy)carbonyl,  $-SO_2-R^9$ ,  $-C(=O)-NR^7R^9$ ,  $-C(=O)-NR^7-SO_2R^9$ ,  $-C(=O)-R^6$ ,  $-C(=O)-R^9$ ,  $-C(=NR^{10})-R^9$ ,  $-C(=S)-R^9$ ,  $-C(=NR^{10})-NHR^9$ ,  $-C(=S)-NHR^9$ , or  $-C(=S)-NR^7-SO_2R^9$  any of which can be substituted or unsubstituted;

25

$R^6$  is a group of formula



$R^7$  and  $R^{7*}$  are independently hydrogen, substituted or unsubstituted  $C_{1-6}$  alkyl, or substituted or unsubstituted aryl;

$R^9$  is arylalkyl, cycloalkyl, cycloalkenyl, cycloalkylalkyl, alkyl, heterocyclylalkyl, aryl or heterocyclyl any of which can be substituted or unsubstituted;

$R^{10}$  is

(1) hydrogen, or cyano;

(2) alkyl, or alkoxy, either of which optionally can be substituted;

$n$  is 0, 1, 2 or 3; and

$n^*$  is 1, 2 or 3.

9. A compound of claim 8 wherein

$R^5$  is alkoxy carbonyl,  $-C(=O)NHR^9$ ,  $-C(=O)-NR^7-SO_2R^9$ ,  $-C(=S)NHR^9$  or  $-C(=S)-NR^7-SO_2R^9$ ; and

$R^9$  is cycloalkyl, cycloalkenyl, heterocyclyl, heterocyclylalkyl, aryl, or arylalkyl any of which may be optionally substituted.

10. A compound of claim 9 wherein

$R^1$  is hydrogen or amino;

$R^3$  is hydrogen;

$R^4$  is hydrogen;

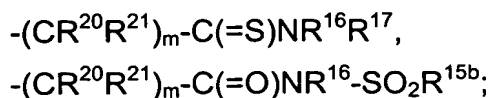
$R^5$  is  $-C(=O)NHR^9$ , or  $-C(=S)NHR^9$ ;

$R^9$  is heterocyclo optionally substituted with one to three hydroxy, oxo or thioxo groups

and further optionally substituted with one or more

(i)  $-(CR^{20}R^{21})_m-C(=O)R^{15}$ ,  $-(CR^{20}R^{21})_m-C(=O)OR^{15}$ ,  
 $-(CR^{20}R^{21})_m-SO_2R^{15a}$ ,  $-(CR^{20}R^{21})_m-C(=O)NR^{16}R^{17}$ ,





- (ii) aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, cycloalkyl or cycloalkylalkyl any of which may be optionally independently substituted with one or more halo, alkoxy, hydroxy, or haloalkyl;
- (iii) cyano;

$\text{R}^{15}$  is hydrogen, alkyl, haloalkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, aryloxyalkyl;

$\text{R}^{15a}$  is hydrogen, alkyl, haloalkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, aryloxyalkyl provided that when  $m$  is zero  $\text{R}^{15a}$  is not hydrogen;

$\text{R}^{15b}$  is alkyl, haloalkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, aryloxyalkyl;

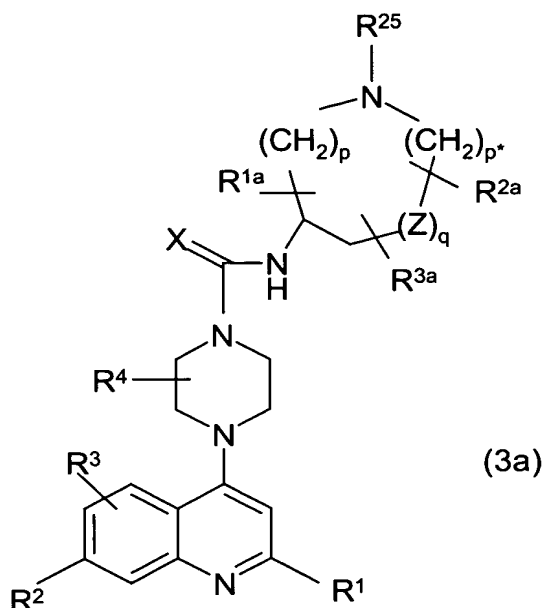
$\text{R}^{16}$  and  $\text{R}^{17}$  are independently hydrogen, alkyl, alkenyl, cycloalkyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, alkenyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl;

or  $\text{R}^{16}$  and  $\text{R}^{17}$  together with the nitrogen atom to which they are bonded may combine to form a heterocyclyl ring;

$\text{R}^{20}$  and  $\text{R}^{21}$  at each occurrence are the same or different and are independently selected from hydrogen or alkyl;

$m$  is 0, 1, 2 or 3; and  
 $n$  is zero.

11. A compound of claim 9 wherein the compound is a compound of formula (3a)



wherein

$R^1$  is hydrogen or amino;

$R^3$  is hydrogen, alkyl, halogenated alkyl, halogen, substituted or unsubstituted amino, nitro, cyano, or alkoxy;

$R^4$  is hydrogen or one or more alkyl groups;

$R^{1a}$ ,  $R^{2a}$  and  $R^{3a}$  are independently selected from hydrogen, oxo, thioxo or when bonded to adjacent ring carbon atoms  $R^{2a}$  and  $R^{3a}$  may combine to form a fused aryl or heterocyclo ring;

$R^{25}$  is

- (i) hydrogen, or cyano
- (ii) alkyl, cycloalkyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, alkenyl, cycloalkylalkyl, heterocyclylalkyl, aryl, or arylalkyl any of which may be optionally independently substituted with one to three halo, alkoxy, hydroxy, alkyl, or haloalkyl; or
- (iii)  $-(CR^{20}R^{21})_m-C(=O)R^{15}$ ,  $-(CR^{20}R^{21})_m-C(=O)OR^{15}$ ,  $-(CR^{20}R^{21})_m-SO_2R^{15a}$ ,  $-(CR^{20}R^{21})_m-C(=O)NR^{16}R^{17}$ ,  $-(CR^{20}R^{21})_m-C(=S)NR^{16}R^{17}$ ; or  $-(CR^{20}R^{21})_m-C(=O)NR^{16}-SO_2R^{15b}$ ;

$R^{15}$  is hydrogen, alkyl, haloalkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, aryloxyalkyl;

$R^{15a}$  is hydrogen, alkyl, haloalkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, aryloxyalkyl provided that when  $m$  is zero  $R^{15a}$  is not hydrogen;

$R^{15b}$  is alkyl, haloalkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, aryloxyalkyl;  
 $R^{16}$  and  $R^{17}$  are independently hydrogen, alkyl, cycloalkyl, haloalkyl, hydroxyalkyl,  
 alkoxyalkyl, aryloxyalkyl, alkenyl, cycloalkylalkyl, heterocyclalkyl, aryl, or  
 arylalkyl;

- 5 or  $R^{16}$  and  $R^{17}$  together with the nitrogen atom to which they are bonded may combine  
 to form a heterocycl ring;

$R^{20}$  and  $R^{21}$  at each occurrence are the same or different and are independently  
 selected from hydrogen or alkyl;

Z is -S-, -S(O)- or -S(O)<sub>2</sub>-;

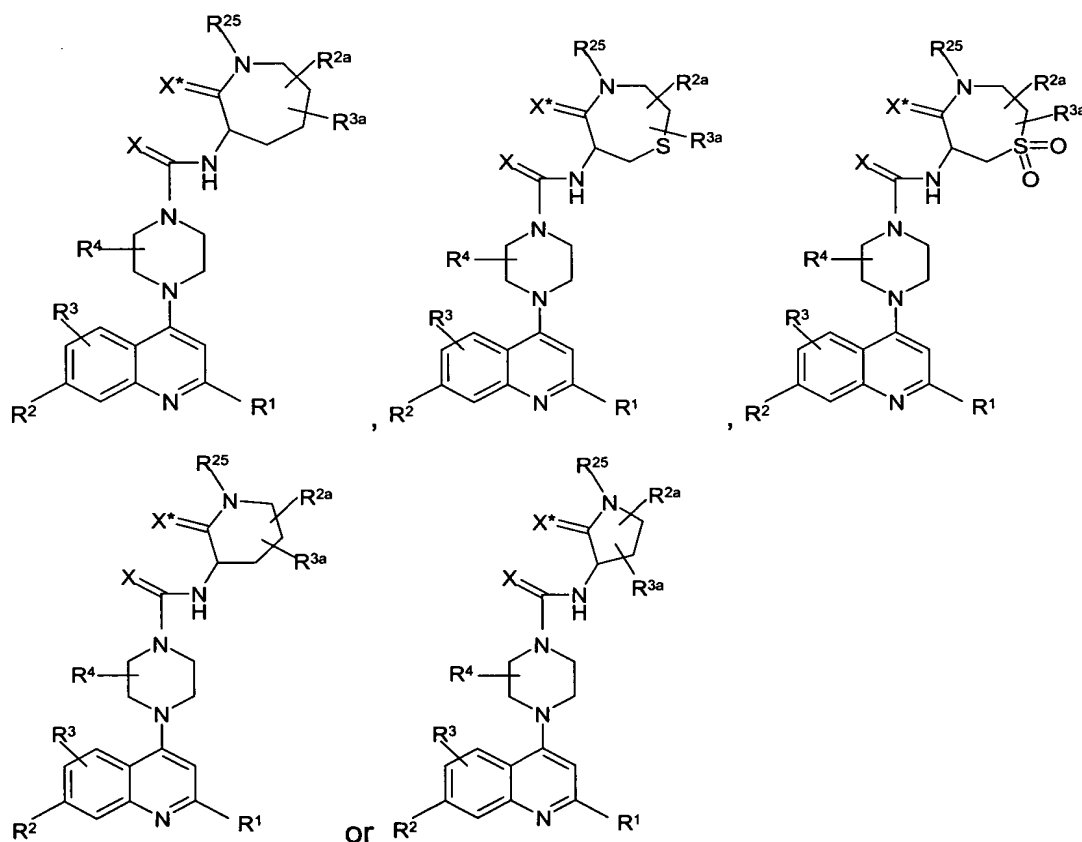
- 10 p is 1 or 2;

$p^*$  is 0, 1, 2, 3 or 4;

q is 0 or 1; and

m is 0, 1 or 2.

- 15 12. A compound of claim 11 wherein the compound has a structure of



where X and  $X^*$  are independently O or S.

13. A compound of claim 12 selected from
- 4-[[[4-(7-Chloro-4-quinolinyl)-1-piperazinyl]carbonyl]amino]hexahydro-1*H*-azepine-1-carboxylic acid, 1,1-dimethylethyl ester;
- 5 4-[[[4-(7-Chloro-4-quinolinyl)-1-piperazinyl]carbonyl]amino]hexahydro-1*H*-azepine-1-carboxylic acid, methyl ester;
- 4-[[[4-(7-Chloro-4-quinolinyl)-1-piperazinyl]carbonyl]amino]hexahydro-1*H*-azepine-1-carboxylic acid, methyl ester;
- 4-[[[4-(7-Chloro-4-quinolinyl)-1-piperazinyl]carbonyl]amino]hexahydro-1*H*-azepine-1-carboxylic acid, phenylmethyl ester;
- 10 4-(7-Chloro-4-quinolinyl)-*N*-[(3*R*)-hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 4-(7-Chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 15 4-(7-Chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-1-methyl-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 4-(7-Chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-2-oxo-1-(phenylmethyl)-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 4-(7-Chloro-4-quinolinyl)-*N*-[(3*S*)-1-[(4-fluorophenyl)methyl]hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 20 4-(7-Chloro-4-quinolinyl)-*N*-[(3*S*)-1-ethylhexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- (3*S*)-[[[4-(7-Chloro-4-quinolinyl)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-acetamide;
- 25 4-(7-Chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-2-oxo-1-(3-pyridinylmethyl)-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 4-(7-Chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-2-oxo-1-(2-propenyl)-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 4-(7-Chloro-4-quinolinyl)-*N*-[(3*S*)-1-(cyclopropylmethyl)hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 30 4-(7-Chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-1-[(4-methoxyphenyl)methyl]-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

(3S)-[[[4-(7-Chloro-4-quinolinyl)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-acetic acid, ethyl ester;

4-(7-Chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-1-[3-(4-morpholinyl)propyl]-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

5 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(6*R*)-hexahydro-5-oxo-1,4-thiazepin-6-yl]-1-piperazinecarbothioamide;

4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(6*R*)-hexahydro-5-oxo-1,4-thiazepin-6-yl]-1-piperazinecarboxamide;

10 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(6*R*)-hexahydro-1,1-dioxido-5-oxo-1,4-thiazepin-6-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-2-oxopyrrolidinyl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-2,3,4,5-tetrahydro-2-oxo-1*H*-1-benzazepin-3-yl]-1-piperazinecarboxamide;

15 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-2,3,4,5-tetrahydro-1-methyl-2-oxo-1*H*-1-benzazepin-3-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-1,2,3,4-tetrahydro-2-oxoquinolinyl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*R*)-hexahydro-2-thioxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

20 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-1-acetylhexahydro-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

25 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-1-methyl-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-1-(cyclopropylmethyl)hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-2-oxo-1-(phenylmethyl)-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

30 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-1-[(2,6-dimethylphenyl)methyl]hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-2-oxo-1-(2-pyridinylmethyl)-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3*S*)-hexahydro-2-oxo-1-(3-pyridinylmethyl)-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3*S*)-hexahydro-2-oxo-1-(4-pyridinylmethyl)-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

5 4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3*S*)-hexahydro-1-(2-hydroxy-3-phenoxypropyl)-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3*S*)-hexahydro-1-(2-hydroxypropyl)-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

10 (3*S*)-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-acetic acid, methyl ester;

(3*S*)-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-acetic acid;

(3*S*)-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-*N*-(phenylmethyl)-1*H*-azepine-1-acetamide;

15 (3*S*)-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-*N*-methyl-2-oxo-1*H*-azepine-1-acetamide;

(3*S*)-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-*N*-(4-pyridinyl)-1*H*-azepine-1-acetamide;

20 4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3*R*)-1-(4-fluorophenyl)hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

4-[7-Chloro-2-(methylamino)-4-quinoliny]-*N*-[(3*S*)-hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3*S*)-hexahydro-2-oxo-1-(2-phenoxyacetyl)-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

25 4-(7-Chloro-4-quinoliny)-*N*-[(3*S*)-1-acetylhexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinoliny)- *N*-[(3*S*)-1-acetylhexahydro-2-oxo-1*H*-azepin-3-yl ]-1-piperazinecarboxamide;

30 4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3*S*)-1-(cyclopropylcarbonyl)hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3*S*)-1-benzoylhexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

- 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-1-(ethylsulfonyl)hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-2-oxo-1-(phenylsulfonyl)-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 5 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-1-(cyclohexylcarbonyl)hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-2-oxo-1-[[ (phenylsulfonyl)amino]carbonyl]-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-2-oxo-1-(2-phenylacetyl)-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 10 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-1-formylhexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-1-(2,2-dimethyl-1-oxopropyl)hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- 15 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-hexahydro-1-(methylsulfonyl)-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;
- (3*S*)-3-[[[4-(2-Amino-7-chloro-4-quinolinyl)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-carboxylic acid, methyl ester;
- (3*S*)-3-[[[4-(2-Amino-7-chloro-4-quinolinyl)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-carboxylic acid-ethyl ester;
- 20 (3*S*)-3-[[[4-(2-Amino-7-chloro-4-quinolinyl)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-carboxylic acid, 2,2,2-trifluoroethyl ester;
- (3*S*)-[[[4-(2-Amino-7-chloro-4-quinolinyl)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-carboxylic acid, 2-propenyl ester;
- 25 (3*S*)-3-[[[4-(2-Amino-7-chloro-4-quinolinyl)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-carboxylic acid phenyl ester;
- (3*S*)-3-[[[4-(2-Amino-7-chloro-4-quinolinyl)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-carboxylic acid-4-fluorophenyl ester;
- (3*S*)-[[[4-(2-Amino-7-chloro-4-quinolinyl)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-carboxylic acid, phenylmethyl ester;
- 30 4-(2-Amino-7-chloro-4-quinolinyl)-*N*-[(3*S*)-1-cyanohexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]-N-cyclohexylhexahydro-2-oxo-1*H*-azepine-1-carboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-carboxamide;

5 (3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-*N*-(2,2,2-trifluoroethyl)-1*H*-azepine-1-carboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]-N-cyclopropylhexahydro-2-oxo-1*H*-azepine-1-carboxamide;

10 (3S)-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-*N*-propyl-1*H*-azepine-1-carboxamide;

4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3S)-hexahydro-2-oxo-1-(1-pyrrolidinylcarbonyl)-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]-N-cyclopentylhexahydro-2-oxo-1*H*-azepine-1-carboxamide;

15 (3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]-N-cyclobutylhexahydro-2-oxo-1*H*-azepine-1-carboxamide;

(3*R*)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]-*N*-(4-fluorophenyl)hexahydro-2-oxo-1*H*-azepine-1-carboxamide;

20 (3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]-N-ethylhexahydro-2-oxo-1*H*-azepine-1-carboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-*N*-phenyl-1*H*-azepine-1-carboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-*N*-(1-methylethyl)-2-oxo-1*H*-azepine-1-carboxamide;

25 (3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]-N-butylhexahydro-2-oxo-1*H*-azepine-1-carboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-*N*-(2-methylpropyl)-2-oxo-1*H*-azepine-1-carboxamide;

30 (3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]-*N*-(1,1-dimethylethyl)hexahydro-2-oxo-1*H*-azepine-1-carboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-*N,N*-dimethyl-2-oxo-1*H*-azepine-1-carboxamide;



(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperaziny]carbonyl]amino]hexahydro-2-oxo-*N*-[4-(trifluoromethyl)phenyl]-1*H*-azepine-1-carboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperaziny]carbonyl]amino]-*N*-(3-fluorophenyl)hexahydro-2-oxo-1*H*-azepine-1-carboxamide;

5 (3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperaziny]carbonyl]amino]hexahydro-*N*-(4-methylphenyl)-2-oxo-1*H*-azepine-1-carboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperaziny]carbonyl]amino]-*N*-(2-fluorophenyl)hexahydro-2-oxo-1*H*-azepine-1-carboxamide;

10 (3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperaziny]carbonyl]amino]-*N*-(2,6-diethylphenyl)hexahydro-2-oxo-1*H*-azepine-1-carboxamide;

4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3S)-hexahydro-1-[(methylamino)carbonothioyl]-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3S)-1-[(ethylamino)carbonothioyl]hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

15 4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3S)-hexahydro-1-[(1-methylethyl)amino]carbonothioyl]-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3S)-hexahydro-2-oxo-1-[(2-propenylamino)carbonothioyl]-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

20 (3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperaziny]carbonyl]amino]hexahydro-*N*-methyl-2-oxo-1*H*-azepine-1-carboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperaziny]carbonyl]amino]hexahydro-2-oxo-*N*-(2-propenyl)-1*H*-azepine-1-carboxamide;

25 (3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperaziny]carbonyl]amino]-*N*-(2-chloroethyl)hexahydro-2-oxo-1*H*-azepine-1-carboxamide; and

(3R)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperaziny]carbonyl]amino]hexahydro-*N*-methyl-2-oxo-1*H*-azepine-1-carboxamide.

14, A compound of claim 13 selected from

30 4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3S)-hexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

4-(2-Amino-7-chloro-4-quinoliny)-*N*-[(3S)-1-acetylhexahydro-2-oxo-1*H*-azepin-3-yl]-1-piperazinecarboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-carboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-carboxylic acid, methyl ester;

5 (3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]-*N*-ethylhexahydro-2-oxo-1*H*-azepine-1-carboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-*N*-methyl-2-oxo-1*H*-azepine-1-carboxamide;

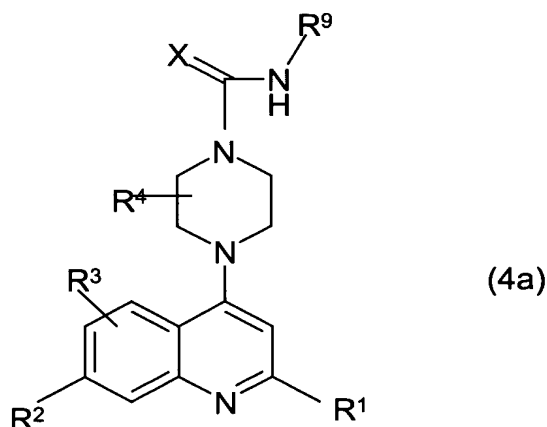
10 (3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]-*N*-cyclopropylhexahydro-2-oxo-1*H*-azepine-1-carboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-*N*-(1-methylethyl)-2-oxo-1*H*-azepine-1-carboxamide;

(3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-*N*-(2,2,2-trifluoroethyl)-1*H*-azepine-1-carboxamide; and

15 (3S)-3-[[[4-(2-Amino-7-chloro-4-quinoliny)-1-piperazinyl]carbonyl]amino]hexahydro-2-oxo-1*H*-azepine-1-carboxylic acid-ethyl ester.

15. A compound of claim 9 wherein the compound is a compound of formula (4a)



20 wherein

$R^1$  is hydrogen or amino;

$R^2$  is halo;

$R^3$  is hydrogen, alkyl, halogenated alkyl, halogen, substituted or unsubstituted amino,  
25 nitro, cyano, or alkoxy;

R<sup>4</sup> is hydrogen or one or more alkyl groups;

R<sup>9</sup> is

(a) alkyl, haloalkyl, alkoxy carbonylalkyl, -CH<sub>2</sub>-C(=O)OR<sup>15</sup>, -CH<sub>2</sub>-C(=O)R<sup>15</sup>, or -CH<sub>2</sub>-C(=O)NR<sup>16</sup>R<sup>17</sup>;

(b) cycloalkyl optionally substituted with one to three groups independently selected from alkyl, haloalkyl, alkoxy, aryloxy, arylalkyloxy, heteroaryloxy, halo, hydroxy, oxo, thioxo, =N-OR<sup>15</sup>, -(CR<sup>20</sup>R<sup>21</sup>)<sub>m</sub>-C(=O)OR<sup>15</sup>, -(CR<sup>20</sup>R<sup>21</sup>)<sub>m</sub>-C(=O)R<sup>15</sup>, -(CR<sup>20</sup>R<sup>21</sup>)<sub>m</sub>-C(=O)NR<sup>16</sup>R<sup>17</sup>;

(c) aryl optionally substituted with one to three groups independently selected from alkyl, alkoxy, heteroaryloxy, halo, hydroxy, oxo, thioxo, =N-OR<sup>15</sup>, -(CR<sup>20</sup>R<sup>21</sup>)<sub>m</sub>-C(=O)OR<sup>15</sup>, -(CR<sup>20</sup>R<sup>21</sup>)<sub>m</sub>-C(=O)R<sup>15</sup>, -(CR<sup>20</sup>R<sup>21</sup>)<sub>m</sub>-C(=O)NR<sup>16</sup>R<sup>17</sup>;

(d) heteroaryl optionally substituted with one to three groups independently selected from alkyl, alkoxy, aryloxy, heteroaryloxy, halo, hydroxy, oxo, thioxo, =N-OR<sup>15</sup>, -(CR<sup>20</sup>R<sup>21</sup>)<sub>m</sub>-C(=O)OR<sup>15</sup>, -(CR<sup>20</sup>R<sup>21</sup>)<sub>m</sub>-C(=O)R<sup>15</sup>, -(CR<sup>20</sup>R<sup>21</sup>)<sub>m</sub>-C(=O)NR<sup>16</sup>R<sup>17</sup>;

R<sup>15</sup> is hydrogen, alkyl, haloalkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, aryloxyalkyl,

R<sup>16</sup> and R<sup>17</sup> are independently hydrogen, alkyl, cycloalkyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, alkenyl, cycloalkylalkyl, heterocyclalkyl, aryl, or arylalkyl;

or R<sup>16</sup> and R<sup>17</sup> together with the nitrogen atom to which they are bonded may combine to form a heterocycl ring;

R<sup>20</sup> and R<sup>21</sup> at each occurrence are the same or different and are independently selected from hydrogen or alkyl; and

m is 0, 1 or 2.

16. A compound of claim 15 wherein R<sup>9</sup> is aryl substituted by at least one halogen.

17. A pharmaceutical composition comprising at least one compound of claim 8 and a pharmaceutically acceptable vehicle or carrier therefor.

18. A pharmaceutical composition comprising at least one compound of claim 11 and a pharmaceutically acceptable vehicle or carrier therefor.

19. A pharmaceutical composition comprising at least one compound of claim 5 15 and a pharmaceutically acceptable vehicle or carrier therefor.

20. A method of treating a disorder selected from optic neuritis, uveitis, stroke, endometriosis, dermatitis, inflammatory bowel disease, Crohn's disease, demyelinating disorders, HIV, AIDS dementia complex, transplant rejection, diabetes, 10 alzheimer's disease, cancer and Grave's disease comprising the administration to a patient in need thereof an effective amount of at least one compound of claim 8.

21. A method of treating a disorder selected from optic neuritis, uveitis, stroke, endometriosis, dermatitis, inflammatory bowel disease, Crohn's disease, 15 demyelinating disorders, HIV, AIDS dementia complex, transplant rejection, diabetes, alzheimer's disease, cancer and Grave's disease comprising the administration to a patient in need thereof an effective amount of at least one compound of claim 11.

22. A method of treating a disorder selected from optic neuritis, uveitis, stroke, 20 endometriosis, dermatitis, inflammatory bowel disease, Crohn's disease, demyelinating disorders, HIV, AIDS dementia complex, transplant rejection, diabetes, alzheimer's disease, cancer and Grave's disease comprising the administration to a patient in need thereof an effective amount of at least one compound of claim 15.

25